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SEARCH REQUEST FORM

Requester's Full Name: Susanna Moore Examiner #: 82304 Date: 9/15/2006
Art Unit: 1624 Phone Number: 2-9096 Serial Number: 101822975
Location (Bldg/Room#): Rem 5831 (Mailbox #) 58C18 Results Format Preferred (circle): PAPER DISK

To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following: ME

Title of Invention: _____

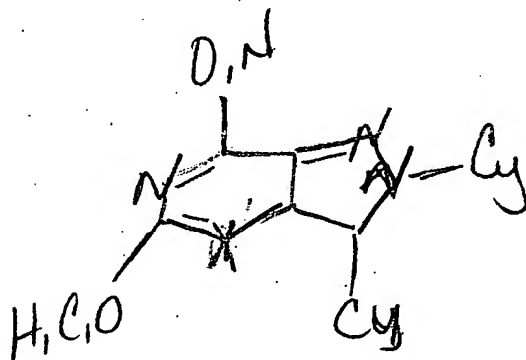
Inventors (please provide full names): _____

Earliest Priority Date: _____

Search Topic:

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.

For Sequence Searches Only Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.



X = C or N

Cy = aryl or heteroaryl

① SEARCH STRUCTURE

② INVENTOR SEARCH

=> fil reg

FILE 'REGISTRY' ENTERED AT 12:40:42 ON 19 SEP 2006

=> d his

FILE 'HCAPLUS' ENTERED AT 11:50:42 ON 19 SEP 2006

L1 1 S US20040214837/PN
SEL RN

FILE 'REGISTRY' ENTERED AT 11:51:02 ON 19 SEP 2006

L2 269 S E1-E269
L3 STR
L4 17 S L3
L5 STR L3
L6 12 S L5
L7 222 S L5 FUL
L8 109 S L7 AND L2
SAV L7 MOO975/A

FILE 'HCAPLUS' ENTERED AT 12:36:11 ON 19 SEP 2006

L9 6 S L7
L10 5 S L9 NOT L1
SEL HIT RN 1-
L11 350 S GRIFFITH D?/AU
L12 480 S HAMMOND M?/AU
L13 2 S L11 AND L12

FILE 'MARPAT' ENTERED AT 12:38:23 ON 19 SEP 2006

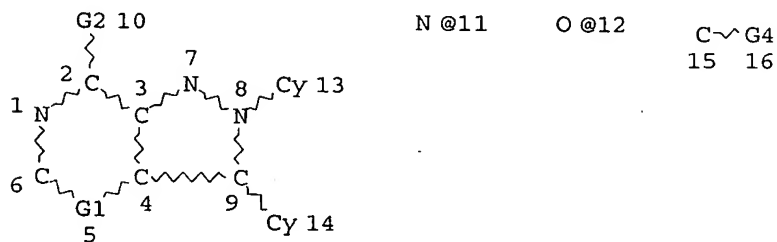
L14 1 S L7
L15 8 S L7 FUL
L16 5 S L15 NOT L9

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L17 1 S L9 NOT L10

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L5 STR



VAR G1=C/N

VAR G2=11/12

VAR G4=AK/O

NODE ATTRIBUTES:

NSPEC IS RC AT 11

NSPEC IS RC AT 12

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS, 16

STEREO ATTRIBUTES: NONE

L7 222 SEA FILE=REGISTRY SSS FUL L5

L9 6 SEA FILE=HCAPLUS ABB=ON L7

=> fil hcap

FILE 'HCAPLUS' ENTERED AT 12:40:56 ON 19 SEP 2006

=> d l13 1-2 all

L13 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:905618 HCAPLUS

DN 141:379938

ED Entered STN: 29 Oct 2004

TI Preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compounds as cannabinoid receptor ligands

IN Griffith, David A.; Hammond, Marlys

PA Pfizer Inc., USA

SO U.S. Pat. Appl. Publ., 76 pp.

CODEN: USXXCO

DT Patent

LA English

IC ICM C07D471-02

ICS A61K031-519; A61K031-4745

INCL 514262100; 514303000; 544262000; 546118000; 514252160; 514253040

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1, 63

FAN.CNT 1

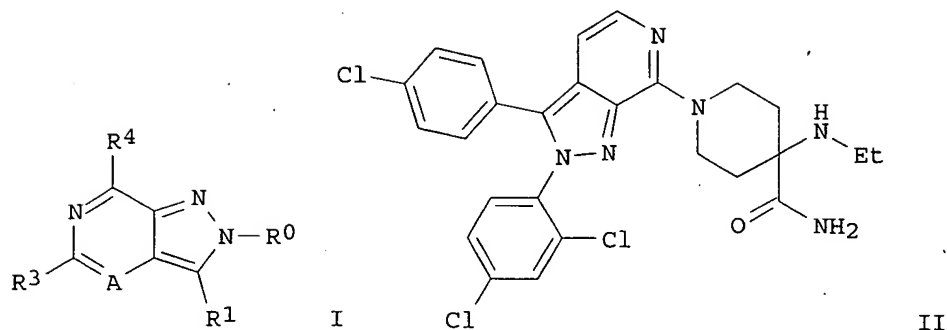
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PI	US 2004214837	A1	20041028	US 2004-822975	2004 0412
	CA 2520842	AA	20041111	CA 2004-2520842	2004 0420
	WO 2004096801	A1	20041111	WO 2004-IB1418	2004 0420
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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EP	1622904	A1	20060208	EP 2004-728385	2004 0420
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				

BR 2004009634	A	20060425	BR 2004-9634	2004 0420
NL 1026030	A1	20041101	NL 2004-1026030	2004 0423
NL 1026030	C2	20050705		
PRAI US 2003-464918P	P	20030423		
US 2004-540048P	P	20040129		
WO 2004-IB1418	W	20040420		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 2004214837	ICM	C07D471-02
	ICS	A61K031-519; A61K031-4745
	INCL	514262100; 514303000; 544262000; 546118000; 514252160; 514253040
	IPCI	C07D0471-02 [ICM,7]; C07D0471-00 [ICM,7,C*]; A61K0031-519 [ICS,7]; A61K0031-4745 [ICS,7]; A61K0031-4738 [ICS,7,C*]
	IPCR	A61K0031-4738 [I,C*]; A61K0031-4745 [I,A]; A61K0031-519 [I,A]; A61K0031-519 [I,C*]; C07D0471-00 [I,C*]; C07D0471-02 [I,A]
	NCL	514/262.100; 514/252.160; 514/253.040; 514/303.000; 544/262.000; 546/118.000
CA 2520842	IPCI	C07D0471-04 [ICM,7]; C07D0471-00 [ICM,7,C*]; C07D0519-00 [ICS,7]; C07D0487-04 [ICS,7]; C07D0487-00 [ICS,7,C*]; A61P0003-04 [ICS,7]; A61P0003-00 [ICS,7,C*]
WO 2004096801	IPCI	C07D0471-04 [ICM,7]; C07D0471-00 [ICM,7,C*]; C07D0487-04 [ICS,7]; C07D0487-00 [ICS,7,C*]; C07D0519-00 [ICS,7]; A61P0003-04 [ICS,7]; A61P0003-00 [ICS,7,C*]
	IPCR	A61P0003-00 [I,C*]; A61P0003-04 [I,A]; C07D0471-00 [I,C*]; C07D0471-04 [I,A]; C07D0487-00 [I,C*]; C07D0487-04 [I,A]; C07D0519-00 [I,A]; C07D0519-00 [I,C*]
EP 1622904	IPCI	C07D0471-04 [ICM,7]; C07D0471-00 [ICM,7,C*]; C07D0487-04 [ICS,7]; C07D0487-00 [ICS,7,C*]; C07D0519-00 [ICS,7]; A61P0003-04 [ICS,7]; A61P0003-00 [ICS,7,C*]
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	IPCR	A61P0003-00 [I,C*]; C07D0471-00 [I,C*]; C07D0487-00 [I,C*]; C07D0519-00 [I,C*]; A61P0003-04 [I,A]; C07D0471-04 [I,A]; C07D0487-04 [I,A]; C07D0519-00 [I,A]
NL 1026030	IPCI	C07D0487-04 [ICM,7]; C07D0487-00 [ICM,7,C*]; A61P0003-04 [ICS,7]; A61P0003-00 [ICS,7,C*]; C07D0471-04 [ICS,7]; C07D0471-00 [ICS,7,C*]; C07D0519-00 [ICS,7]
	IPCR	A61P0003-00 [I,C*]; A61P0003-04 [I,A]; C07D0471-00 [I,C*]; C07D0471-04 [I,A]; C07D0487-00 [I,C*]; C07D0487-04 [I,A]; C07D0519-00 [I,A]; C07D0519-00 [I,C*]

OS MARPAT 141:379938
GI



AB The title compds. I [A = N, CR2 (wherein R2 = H, alkyl, haloalkyl, alkoxy); R0, R1 = (un)substituted (hetero)aryl; R3 = H, alkyl, haloalkyl, alkoxy; R4 = (un)substituted pyrrolidino, piperidino, piperazino, etc.] that act as cannabinoid receptor ligands and therefore are useful in the treatment of diseases linked to the mediation of the cannabinoid receptors in animals, were prepared. Thus, reacting 7-chloro-3-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-2H-pyrazolo[3,4-c]pyridine with 4-ethylaminopiperidine-4-carboxylic acid amide (prepn. given) afforded 78% II. All the exemplified compds. (over 190) were tested in the CB-1 receptor binding assay and showed a range of binding activities from 0.2 nM to 1.6 μ M. The pharmaceutical composition comprising the compound I is claimed.

ST pyrazolopyrimidine prepn cannabinoid receptor CB1 ligand;
pyrazolopyridine prepn cannabinoid receptor CB1 ligand

IT Apolipoproteins

(B, apo-B/MTP inhibitors as co-drugs; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a cannabinoid receptor antagonist in combination with other pharmaceutical agents)

IT Cholecystokinin receptors

(CCKA, CCK-A agonists as co-drugs; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a cannabinoid receptor antagonist in combination with other pharmaceutical agents)

IT Histamine receptors

(H3, histamine 3 receptor antagonist or inverse agonist as co-drug; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. as cannabinoid receptor antagonists for use in combination with other pharmaceutical agents)

IT MSH receptors

(MSH receptor analog as co-drug; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a cannabinoid receptor antagonist in combination with other pharmaceutical agents)

IT Proteins

(agouti-related, human agouti-related protein antagonist as co-drug; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. as cannabinoid receptor antagonists for use in combination with other pharmaceutical agents)

- IT Mental and behavioral disorders
(attention deficit disorder; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating a disease, condition or disorder which is modulated by a cannabinoid receptor antagonist)
- IT Mental and behavioral disorders
(bipolar disorder; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating a disease, condition or disorder which is modulated by a cannabinoid receptor antagonist)
- IT Bombesin receptors
(bombesin agonists as co-drugs; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a cannabinoid receptor antagonist in combination with other pharmaceutical agents)
- IT Ciliary neurotrophic factor
(ciliary neurotrophic factor as co-drug; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. as cannabinoid receptor antagonists for use in combination with other pharmaceutical agents)
- IT Opioid antagonists
(co-drugs; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a cannabinoid receptor antagonist in combination with other pharmaceutical agents)
- IT Mental and behavioral disorders
(dementia; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating a disease, condition or disorder which is modulated by a cannabinoid receptor antagonist)
- IT Mental and behavioral disorders
(depression; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating a disease, condition or disorder which is modulated by a cannabinoid receptor antagonist)
- IT Dopamine agonists
(dopamine agonists as co-drugs; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a cannabinoid receptor antagonist in combination with other pharmaceutical agents)
- IT Dopamine receptors
(dopaminergic agents as co-drugs; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a cannabinoid receptor antagonist in combination with other pharmaceutical agents)
- IT Galanin receptors
(galanin antagonist as co-drug; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a cannabinoid receptor antagonist in combination with other pharmaceutical agents)
- IT Growth hormone secretagogue receptors
(ghrelin receptor antagonist as co-drug; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. as cannabinoid receptor antagonists for use in combination with other pharmaceutical agents)
- IT Glucagon-like peptide-1 receptors
(glucagon-like peptide-1 receptor agonists as co-drugs; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. as cannabinoid receptor antagonists for use in combination with other pharmaceutical agents)

- IT Glucocorticoid receptors
(glucocorticoid receptor antagonists as co-drugs; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a cannabinoid receptor antagonist in combination with other pharmaceutical agents)
- IT Leptin receptors
(leptin receptor agonist as co-drug; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a cannabinoid receptor antagonist in combination with other pharmaceutical agents)
- IT Body weight
(loss; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating a disease, condition or disorder which is modulated by a cannabinoid receptor antagonist)
- IT Pituitary hormone receptors
(melanocortin receptor 4, MCR-4 agonists as co-drugs; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a cannabinoid receptor antagonist in combination with other pharmaceutical agents)
- IT Transport proteins
(monoamine transporter, monoamine reuptake inhibitors as co-drugs; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a cannabinoid receptor antagonist in combination with other pharmaceutical agents)
- IT Neuropeptide Y receptors
(neuropeptide-Y receptor antagonists as co-drugs; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a cannabinoid receptor antagonist in combination with other pharmaceutical agents)
- IT Nicotinic receptors
(nicotine receptor partial agonist as co-drug; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a cannabinoid receptor antagonist in combination with other pharmaceutical agents)
- IT Diabetes mellitus
(non-insulin-dependent; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating a disease, condition or disorder which is modulated by a cannabinoid receptor antagonist)
- IT Hypothalamic hormone receptors
(orexin receptor, orexin receptor antagonists as co-drugs; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a cannabinoid receptor antagonist in combination with other pharmaceutical agents)
- IT Human
(preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. as cannabinoid receptor ligands)
- IT Alcoholism
- Anti-inflammatory agents
- Anticonvulsants
- Antidepressants
- Antidiabetic agents
- Antiobesity agents
- Antiparkinsonian agents
- Antipsychotics
- Bulimia
- Digestive tract, disease

- Epilepsy
- Gastrointestinal agents
- Inflammation
- Obesity
- Parkinson's disease
- Schizophrenia
- Seizures
 - (preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating a disease, condition or disorder which is modulated by a cannabinoid receptor antagonist)
- IT Mental and behavioral disorders
 - (psychosis; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating a disease, condition or disorder which is modulated by a cannabinoid receptor antagonist)
- IT Adrenoceptor agonists
 - (sympathomimetic agents as co-drugs; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a cannabinoid receptor antagonist in combination with other pharmaceutical agents)
- IT Thyroid hormones
 - (thyromimetic agents as co-drugs; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a cannabinoid receptor antagonist in combination with other pharmaceutical agents)
- IT Drug dependence
 - (treating behavioral addiction; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating a disease, condition or disorder which is modulated by a cannabinoid receptor antagonist)
- IT Tobacco smoke
 - (treating tobacco abuse; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating a disease, condition or disorder which is modulated by a cannabinoid receptor antagonist)
- IT 5-HT receptors
 - (type 5-HT_{2C}, 5-HT_{2c} receptor agonists as co-drugs; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a cannabinoid receptor antagonist in combination with other pharmaceutical agents)
- IT Cannabinoid receptors
 - (type CB₁; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. as cannabinoid receptor ligands)
- IT Adrenoceptor agonists
 - (β₃-, β₃ adrenergic receptor agonists as co-drugs; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a cannabinoid receptor antagonist in combination with other pharmaceutical agents)
- IT 9041-46-7
 - (11β-hydroxy steroid dehydrogenase-1 inhibitors as inhibitors; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. as cannabinoid receptor antagonists for use in combination with other pharmaceutical agents)
- IT 53-43-0, Dehydroepiandrosterone
 - (dehydroepiandrosterone or analog as co-drugs; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds.

- for treating disorders modulated by a cannabinoid receptor antagonist in combination with other pharmaceutical agents)
- IT 169494-85-3, Leptin
(leptin or its analog as co-drugs; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a cannabinoid receptor antagonist in combination with other pharmaceutical agents)
- IT 9001-62-1, Lipase
(lipase inhibitors as co-drugs; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a cannabinoid receptor antagonist in combination with other pharmaceutical agents)
- IT 67382-96-1, Melanin-concentrating hormone
(melanin concentrating hormone antagonists as co-drugs; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a cannabinoid receptor antagonist in combination with other pharmaceutical agents)
- IT 111745-44-9, Neuromedin U
(neuromedin U receptor agonist as co-drug; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. as cannabinoid receptor antagonists for use in combination with other pharmaceutical agents)
- IT 126339-09-1, Peptide YY3-36
(peptide YY3-36 or an analog thereof as co-drug; preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. as cannabinoid receptor antagonists for use in combination with other pharmaceutical agents)
- IT 784207-12-1P 784208-08-8P 784208-41-9P
(preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a cannabinoid receptor antagonist)
- IT 784206-58-2P 784206-59-3P 784206-60-6P 784206-61-7P
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784208-70-4P	784208-71-5P	784208-72-6P	784208-73-7P
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784208-78-2P			

(preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a cannabinoid receptor antagonist)

IT 67-63-0, 2-Propanol, reactions 95-92-1, Diethyl oxalate
99-91-2, 1-(4-Chlorophenyl)ethanone 110-89-4, Piperidine,
reactions 120-92-3, Cyclopentanone 123-75-1, Pyrrolidine,
reactions 775-15-5, 1-Benzylpyrrolidin-3-ol 3612-20-2
6656-60-6, 2-Oxopropyl benzoate 7461-49-6, Propionamide
hydrochloride 18621-17-5, 1-Benzhydrylazetid-3-ol
41052-75-9, 2-Chlorophenylhydrazine hydrochloride 61190-10-1
80387-17-3, 2-Oxobutyl benzoate 158941-07-2

(preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a cannabinoid receptor antagonist)

IT 1024-16-4P 1027-91-4P 33420-52-9P 40320-60-3P 84100-54-9P
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686344-67-2P 686344-68-3P 686344-69-4P 686344-70-7P
686344-71-8P 686344-72-9P 736994-08-4P 736994-10-8P
784192-14-9P 784193-05-1P 784193-06-2P 784193-07-3P
784193-08-4P 784193-10-8P 784193-12-0P 784193-13-1P
784193-14-2P 784193-15-3P 784193-16-4P 784193-17-5P
784208-55-5P 784208-56-6P /

(preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a cannabinoid receptor antagonist)

L13 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:425418 HCAPLUS
DN 137:6086
ED Entered STN: 06 Jun 2002
TI Preparation of substituted carbazolylamides as neuropeptide Y-5
antagonists
IN Elliott, Richard L.; Griffith, David A.; Hammond,
Marlys
PA Pfizer Inc., USA

SO U.S., 46 pp.
 CODEN: USXXAM
 DT Patent
 LA English
 IC ICM A61K031-4375
 ICS A61K031-4439; C07D215-20
 INCL 514314000
 CC 27-11 (Heterocyclic Compounds (One Hetero Atom))
 Section cross-reference(s): 1, 63
 FAN.CNT 1

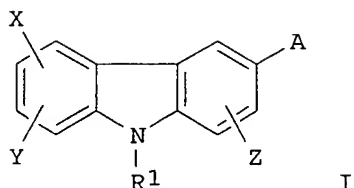
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6399631	B1	20020604	US 2000-620315	2000 0721

PRAI US 1999-145304P P 19990723

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 6399631	ICM	A61K031-4375
	ICS	A61K031-4439; C07D215-20
	INCL	514314000
	IPCI	A61K0031-4375 [ICM,7]; A61K0031-4353 [ICM,7,C*]; A61K0031-4439 [ICS,7]; A61K0031-4427 [ICS,7,C*]; C07D0215-20 [ICS,7]; C07D0215-00 [ICS,7,C*]
	IPCR	C07D0209-00 [I,C*]; C07D0209-88 [I,A]; C07D0401-00 [I,C*]; C07D0401-12 [I,A]; C07D0401-14 [I,A]; C07D0403-00 [I,C*]; C07D0403-12 [I,A]; C07D0417-00 [I,C*]; C07D0417-12 [I,A]
	NCL	514/314.000; 514/323.000; 514/411.000; 546/175.000; 546/200.000; 548/444.000
	ECLA	C07D209/88; C07D401/12+213+209; C07D401/12+211+209; C07D401/12+217+209; C07D401/12+215+209; C07D401/14+211+211+209; C07D401/14+213+213+209; C07D401/14+215+215+209; C07D403/12+231+209; C07D417/12+277B+213+209

OS MARPAT 137:6086
 GI



AB Title compds. I [X, Y, Z = H, halo, OH, NO₂, CN, alkyl, alkoxy, amino, alkylamino, etc.; R₁ = alkyl, alkylaryl, alkenyl, (cyclo)alkyl, mono/polyfluoroalkyl; A = NR₂CO, NR₂SO₂; R₂ = H, alkyl, alkylaryl, alkenyl, etc.] were prepared For instance, 3-amino-9-ethylcarbazole and 4-(dimethylamino)butyric acid were coupled (CH₂Cl₂, EDC, Et₃N, DMAP, 19 h) to give I (X, Y, Z = H; R₁ = Et; A = NHCOCH₂CH₂CH₂N(CH₃)₂; II). II had K_i < 1 μM for the

- neuropeptide Y-5 (NPY-5) receptor. I are useful in treating conditions associated with NPY-5 neurotransmission, e.g., obesity.
- ST carbazole neuropeptide y5 antagonist prepn
- IT Antiobesity agents
 Canis familiaris
 Felis catus
 Human
 Neurotransmission
 (preparation of substituted carbazolylamides as neuropeptide Y-5 antagonists)
- IT 82785-45-3, Neuropeptide Y
 (inhibitor; preparation of substituted carbazolylamides as neuropeptide Y-5 antagonists)
- IT 22130-02-5P, 9-Isopropyl-3-nitro-9H-carbazole 57102-98-4P, 9-Ethyl-9H-carbazole-3-carboxylic acid 322722-89-4P 322723-06-8P, [[9-Ethyl-9H-carbazol-3-ylcarbamoyl]methyl]carbamic acid tert-butyl ester 322725-14-4P, 2-Amino-N-[9-ethyl-9H-carbazol-3-yl]acetamide 432505-74-3P, 9-Ethyl-9H-carbazole-3-carboxylic acid [2-aminoethyl]amide 432505-77-6P 432505-79-8P (intermediate; preparation of substituted carbazolylamides as neuropeptide Y-5 antagonists)
- IT 78-84-2, Isobutyraldehyde 91-21-4, 1,2,3,4-Tetrahydroisoquinoline 100-46-9, Benzylamine, reactions 132-32-1, 3-Amino-9-ethylcarbazole 693-11-8, 4-[Dimethylamino]butyric acid 1484-09-9, 9-Isopropyl-9H-carbazole 4152-09-4, N-Benzyl-1,2-ethanediamine 4530-20-5, tert-Butoxycarbonylaminoacetic acid 7570-45-8, 9-Ethyl-9H-carbazole-3-carboxaldehyde 10200-59-6, Thiazole-2-carboxaldehyde 19653-33-9, Ethyl 3-piperidinepropionate 39512-49-7, 4-[4-Chlorophenyl]-4-hydroxypiperidine 123750-60-7, (R)-Glycidyl p-nitrobenzenesulfonate 430457-66-2, N-[9-Ethyl-9H-carbazol-6-yl]-2,2,2-trifluoroethaneamide 432505-62-9, [3-[[9-Ethyl-9H-carbazol-3-ylcarbamoyl]methyl]-3-azabicyclo[3.1.0]hex-6-yl]carbamic acid tert-butyl ester 432505-64-1, 2-[6-Amino-3-azabicyclo[3.1.0]hex-3-yl]-N-[9-ethyl-9H-carbazol-3-yl]acetamide hydrochloride (reactant; preparation of substituted carbazolylamides as neuropeptide Y-5 antagonists)
- IT 432505-70-9P, N-[9-Ethyl-9H-carbazol-3-yl]-2-pyridin-3-ylacetamide 432505-73-2P, 9-Ethyl-9H-carbazole-3-carboxylic acid [2-benzylaminoethyl]amide (target drug, intermediate; preparation of substituted carbazolylamides as neuropeptide Y-5 antagonists)
- IT 432505-55-0P, 4-Dimethylamino-N-[9-ethyl-9H-carbazol-3-yl]butyramide 432505-59-4P, 3-Bromo-N-[9-ethyl-9H-carbazol-3-yl]propionamide 432505-65-2P, N-[3-Bromo-9-ethyl-9H-carbazol-6-yl]-2,2,2-trifluoroethaneamide 432505-66-3P (target drug; preparation of substituted carbazolylamides as neuropeptide Y-5 antagonists)
- IT 318-11-6P, N-[9-Methyl-9H-carbazol-3-yl]-2,2,2-trifluoroethaneamide 322723-18-2P, N-[9-Ethyl-9H-carbazol-3-yl]-2-[1,2,4]triazol-1-ylacetamide 322723-51-3P, 3-Dimethylamino-N-[9-ethyl-9H-carbazol-3-yl]propionamide 322723-92-2P, 2-Dimethylamino-N-[9-ethyl-9H-carbazol-3-yl]acetamide 322724-18-5P, N-[9-Ethyl-9H-carbazol-3-yl]methanesulfonamide 322725-17-7P, N-[9-Ethyl-9H-carbazol-3-yl]-2-hydroxy-2-methylpropionamide 332168-89-5P, N-[9-Ethyl-9H-carbazol-3-yl]-2-fluorobenzamide 432505-56-1P, N-[9-Ethyl-9H-carbazol-3-yl]-3-piperidin-1-ylpropionamide hydrochloride 432505-57-2P, N-[9-Isopropyl-9H-carbazol-3-yl]-

2,2,2-trifluoroethaneamide 432505-58-3P, 3-[4-[4-Chlorophenyl]-4-hydroxypiperidin-1-yl]-N-[9-ethyl-9H-carbazol-3-yl]propionamide 432505-60-7P, 4-Dimethylamino-N-[9-ethyl-9H-carbazol-3-yl]-N-methylbutyramide 432505-61-8P, N-[9-Ethyl-9H-carbazol-3-yl]-2-[6-amino-3-azabicyclo[3.1.0]hex-3-yl]acetamide 432505-63-0P, N-[9-Ethyl-9H-carbazol-3-yl]-2-[6-isobutylamino-3-azabicyclo[3.1.0]hex-3-yl]acetamide dihydrochloride 432505-67-4P 432505-68-5P, N-[6-[3,4-Dihydro-1H-isoquinolin-2-ylmethyl]-9-ethyl-9H-carbazol-3-yl]-2,2,2-trifluoroethaneamide hydrochloride 432505-69-6P, N-[9-Ethyl-9H-carbazol-3-yl]-2-pyridin-3-yl-N-methylacetamide 432505-71-0P, 9-Ethyl-9H-carbazole-3-carboxylic acid benzylamide 432505-72-1P, 9-Ethyl-9H-carbazole-3-carboxylic acid [2-[[thiazol-2-ylmethyl]amino]ethyl]amide 432505-75-4P, 2-[[[(2S)-3-(Diethylamino)-2-(hydroxy)propan-1-yl]amino]-N-[9-ethyl-9H-carbazol-3-yl]acetamide dihydrochloride 432505-76-5P, N-[6-tert-Butyl-9-ethyl-9H-carbazol-3-yl]-2-[[[(2S)-3-diethylamino-2-hydroxypropyl]amino]acetamide 432505-80-1P, 3-Diethylamino-N-[9-ethyl-9H-carbazol-3-yl]propionamide 432505-81-2P 432505-82-3P, N-[9-Ethyl-9H-carbazol-3-yl]-2-pyridin-2-ylacetamide 432505-83-4P, N-[9-Ethyl-9H-carbazol-3-yl]isonicotinamide 432505-84-5P 432505-85-6P, N-[9-Ethyl-9H-carbazol-3-yl]-2-pyridin-4-ylacetamide 432505-86-7P, N-[9-Ethyl-9H-carbazol-3-yl]-2-piperidin-1-ylacetamide 432505-87-8P, N-[9-Ethyl-9H-carbazol-3-yl]-3-morpholin-4-ylpropionamide 432505-88-9P, N-[9-Ethyl-9H-carbazol-3-yl]-3-piperidin-1-ylpropionamide 432505-89-0P, N-[9-Ethyl-9H-carbazol-3-yl]-2-hydroxy-2,2-diphenylacetamide 432505-90-3P, N-[9-Ethyl-9H-carbazol-3-yl]-2-hydroxy-2-methylbutyramide 432505-91-4P, N-[9-Ethyl-9H-carbazol-3-yl]-2-hydroxy-2-phenylpropionamide 432505-92-5P, (R)-N-[9-Ethyl-9H-carbazol-3-yl]-2-hydroxy-2-phenylpropionamide 432505-93-6P, 2-Bromo-N-[9-ethyl-9H-carbazol-3-yl]acetamide 432505-94-7P, N-[9-Ethyl-9H-carbazol-3-yl]-2-[4-methylpiperazin-1-yl]acetamide 432505-95-8P, 2-[Bis[2-hydroxyethyl]amino]-N-[9-ethyl-9H-carbazol-3-yl]acetamide 432505-96-9P, N-[9-Ethyl-9H-carbazol-3-yl]-2-pyrrolidin-1-ylacetamide 432505-97-0P, 2-Benzylamino-N-[9-ethyl-9H-carbazol-3-yl]acetamide 432505-98-1P, N-[9-Ethyl-9H-carbazol-3-yl]-3-[4-phenylpiperidin-1-yl]propionamide 432505-99-2P, 3-[3,4-Dihydro-1H-isoquinolin-2-yl]-N-[9-ethyl-9H-carbazol-3-yl]propionamide 432506-00-8P, 3-[2,5-Dihydropyrrol-1-yl]-N-[9-ethyl-9H-carbazol-3-yl]propionamide 432506-01-9P, N-[9-Ethyl-9H-carbazol-3-yl]-3-indol-1-ylpropionamide 432506-02-0P, 3-Diphenylamino-N-[9-ethyl-9H-carbazol-3-yl]propionamide 432506-03-1P, 3-[5-Chloroquinolin-8-yloxy]-N-[9-ethyl-9H-carbazol-3-yl]propionamide 432506-04-2P, 3-Carbazol-9-yl-N-[9-ethyl-9H-carbazol-3-yl]propionamide 432506-05-3P, N-[9-Ethyl-9H-carbazol-3-yl]-3-[4-[piperidin-1-yl]methyl]phenoxy]propionamide 432506-06-4P, N-[9-Ethyl-9H-carbazol-3-yl]-3-[N-methyl-N-[1,2,3,4-tetrahydronaphthalen-2-yl]amino]propionamide 432506-07-5P, N-[9-Ethyl-9H-carbazol-3-yl]-3-[quinolin-7-yloxy]propionamide 432506-08-6P, N-[9-Ethyl-9H-carbazol-3-yl]-3-pyrrolidin-1-ylpropionamide 432506-09-7P, 2-[4-[4-Chlorophenyl]-4-hydroxypiperidin-1-yl]-N-[9-ethyl-9H-carbazol-3-yl]acetamide 432506-10-0P, N-[9-Ethyl-9H-carbazol-3-yl]-2-[4-hydroxy-4-phenylpiperidin-1-yl]acetamide 432506-11-1P, N-[9-Isopropyl-9H-carbazol-3-yl]-3-[4-phenylpiperidin-1-yl]propionamide 432506-12-2P, N-[9-Ethyl-9H-carbazol-3-yl]-3-[4-hydroxy-4-phenylpiperidin-1-yl]propionamide 432506-13-3P, 3-[1,4']Bipiperidiny-1'-yl-N-[9-ethyl-9H-carbazol-3-

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 9-Ethyl-9H-carbazole-3-carboxylic acid [2-[N,N-di((pyridin-4-yl)methyl)amino]ethyl]amide 432506-47-3P, 9-Ethyl-9H-carbazole-3-carboxylic acid [2-[N,N-di[[1-methyl-1H-indol-3-yl]methyl]amino]ethyl]amide 432506-48-4P, 9-Ethyl-9H-carbazole-3-carboxylic acid [2-[N,N-di((quinolin-2-yl)methyl)amino]ethyl]amide 432506-49-5P, 9-Ethyl-9H-carbazole-3-carboxylic acid [2-[[quinolin-2-ylmethyl]amino]ethyl]amide 432506-50-8P,
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ethyl-9H-carbazol-3-yl]acetamide 432506-57-5P,
N-[9-Isopropyl-9H-carbazol-3-yl]acetamide
(target drug; preparation of substituted carbazolylamides as
neuropeptide Y-5 antagonists)

IT 433575-54-3, 1: PN: US6399631 PAGE: 20 unclaimed DNA
(unclaimed nucleotide sequence; preparation of substituted
carbazolylamides as neuropeptide Y-5 antagonists)

IT 433575-55-4
(unclaimed protein sequence; preparation of substituted
carbazolylamides as neuropeptide Y-5 antagonists)

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE

- (1) Anon; WO 0063171 2000 HCAPLUS
- (2) Anon; WO 0107409 2001 HCAPLUS
- (3) Berger; US 3896145 A 1975 HCAPLUS
- (4) Harrington; US 3642817 A 1972 HCAPLUS

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L17 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:905618 HCAPLUS

DOCUMENT NUMBER: 141:379938

TITLE: Preparation of pyrazolo[4,3-d]pyrimidine and
pyrazolo[3,4-c]pyridine compounds as
cannabinoid receptor ligands

INVENTOR(S): Griffith, David A.; Hammond, Marlys

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 76 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004214837	A1	20041028	US 2004-822975	2004 0412
CA 2520842	AA	20041111	CA 2004-2520842	2004 0420
WO 2004096801	A1	20041111	WO 2004-IB1418	2004 0420

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CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG,
ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP,
KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,
MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL,
PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR,
TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW,
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CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM,
GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1622904 A1 20060208 EP 2004-728385

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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE,
MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK
BR 2004009634 A 20060425 BR 2004-9634

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NL 1026030 A1 20041101 NL 2004-1026030

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NL 1026030 C2 20050705
PRIORITY APPLN. INFO.: US 2003-464918P P

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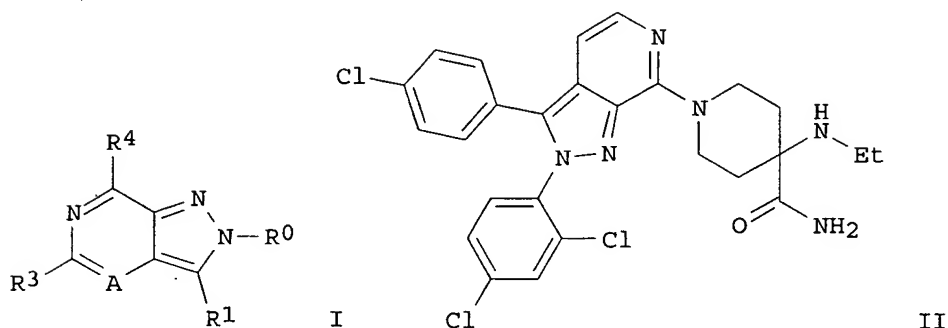
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OTHER SOURCE(S): MARPAT 141:379938
GI



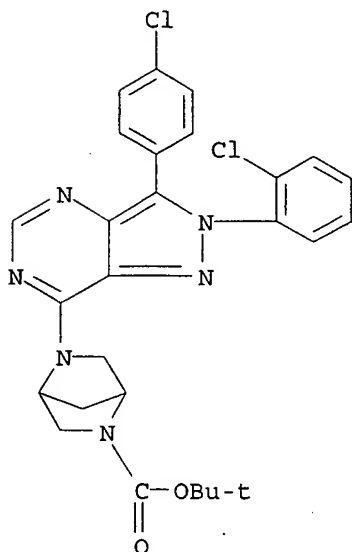
AB The title compds. I [A = N, CR₂ (wherein R₂ = H, alkyl, haloalkyl, alkoxy); R₀, R₁ = (un)substituted (hetero)aryl; R₃ = H, alkyl, haloalkyl, alkoxy; R₄ = (un)substituted pyrrolidino, piperidino, piperazino, etc.] that act as cannabinoid receptor ligands and therefore are useful in the treatment of diseases linked to the mediation of the cannabinoid receptors in animals, were prepared. Thus, reacting 7-chloro-3-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-2H-pyrazolo[3,4-c]pyridine with 4-ethylaminopiperidine-4-carboxylic acid amide (prepn. given) afforded 78% II. All the exemplified compds. (over 190) were tested in the CB-1 receptor binding assay and showed a range of binding activities from 0.2 nM to 1.6 μM. The pharmaceutical composition comprising the compound I is claimed.

IT 784207-12-1P

(preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a

cannabinoid receptor antagonist)

RN 784207-12-1 HCAPLUS
 CN 2,5-Diazabicyclo[2.2.1]heptane-2-carboxylic acid,
 5-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-2H-pyrazolo[4,3-
 d]pyrimidin-7-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IC ICM C07D471-02
 ICS A61K031-519; A61K031-4745
 INCL 514262100; 514303000; 544262000; 546118000; 514252160; 514253040
 CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
 Section cross-reference(s): 1, 63
 IT 784207-12-1P 784208-08-8P 784208-41-9P
 (preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-
 c]pyridine compds. for treating disorders modulated by a
 cannabinoid receptor antagonist)
 IT 784206-58-2P 784206-59-3P 784206-60-6P
 784206-61-7P 784206-62-8P 784206-63-9P
 784206-64-0P 784206-65-1P 784206-66-2P
 784206-67-3P 784206-68-4P 784206-69-5P 784206-70-8P
 784206-71-9P 784206-72-0P 784206-73-1P 784206-74-2P
 784206-75-3P 784206-76-4P 784206-77-5P 784206-78-6P
 784206-79-7P 784206-80-0P 784206-81-1P
 784206-82-2P 784206-83-3P 784206-84-4P
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 784208-78-2P

(preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a cannabinoid receptor antagonist)

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 784193-14-2P 784193-15-3P 784193-16-4P 784193-17-5P
 784208-55-5P 784208-56-6P

(preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compds. for treating disorders modulated by a cannabinoid receptor antagonist)

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E384 THROUGH E497 ASSIGNED

=> d l10 1-5 ibib abs fhitr hitind

L10 ANSWER 1 OF 5 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:1341997 HCAPLUS

DOCUMENT NUMBER: 144:233032

TITLE: New bicyclic cannabinoid receptor-1 (CB1-R) antagonists

AUTHOR(S): Carpino, Philip A.; Griffith, David A.; Sakya, Subas; Dow, Robert L.; Black, Shawn C.; Hadcock, John R.; Iredale, Philip A.; Scott, Dennis O.; Fichtner, Michael W.; Rose, Colin R.; Day, Robert; Dibrino, Joseph; Butler, Mary; DeBartolo, Demetria B.; Dutcher, Darrin; Gautreau, Denise; Lizano, Jeff S.; O'Connor, Rebecca E.; Sands, Michelle A.; Kelly-Sullivan, Dawn; Ward, Karen M.

CORPORATE SOURCE: Pfizer Global Research and Development-Groton Laboratories, Groton, CT, 06340, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2006), 16(3), 731-736

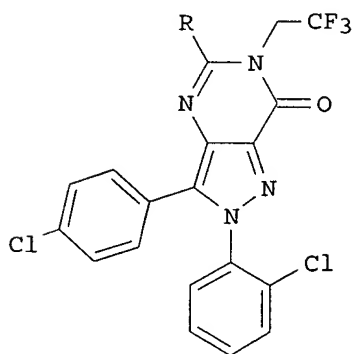
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



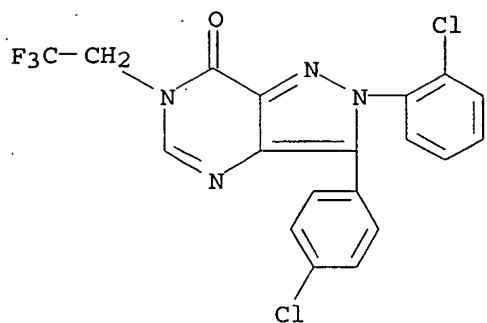
AB A series of conformationally constrained bicyclic derivs. derived from SR141716 was prepared and evaluated as hCB1-R antagonists and inverse agonists. Optimization of the structure-activity relationships around the 2,6-dihydro-pyrazolo[4,3-d]pyrimidin-7-one derivative led to the identification of I [R = H, Me] with oral activity in rodent feeding models. Replacement of the PP group in I [R = H] with other bicyclic groups resulted in a loss of binding affinity.

IT 784192-17-2P

(preparation of pyrazolopyrimidines as cannabinoid receptor-1 (CB1-R) antagonists)

RN 784192-17-2 HCAPLUS

CN. 7H-Pyrazolo[4,3-d]pyrimidin-7-one, 2-(2-chlorophenyl)-3-(4-chlorophenyl)-2,6-dihydro-6-(2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)



CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

IT 784192-17-2P 784192-19-4P 784192-20-7P

784192-21-8P 784192-22-9P 784192-23-0P

784192-24-1P 784192-25-2P 784192-27-4P

784192-59-2P 784192-60-5P 784192-63-8P

784192-98-9P 857066-19-4P 857075-03-7P 876405-86-6P

876405-87-7P 876405-88-8P 876405-89-9P

876405-90-2P

(preparation of pyrazolopyrimidines as cannabinoid receptor-1
(CB1-R) antagonists)

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE
FOR THIS RECORD. ALL CITATIONS AVAILABLE
IN THE RE FORMAT

L10 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:905623 HCAPLUS

DOCUMENT NUMBER: 141:379924

TITLE: Preparation of bicyclic pyrazolyl and
imidazolyl compounds as cannabinoid receptor
ligands

INVENTOR(S): Carpino, Philip A.; Dow, Robert L.

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 46 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004214855	A1	20041028	US 2004-823107	2004 0412
AU 2004232553	A1	20041104	AU 2004-232553	2004 0420
CA 2523364	AA	20041104	CA 2004-2523364	2004 0420
WO 2004094429	A1	20041104	WO 2004-IB1482	2004 0420

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ,
 CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG,
 ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP,
 KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,
 MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL,
 PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR,
 TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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 AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY,
 CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
 NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM,
 GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 16222909 A1 20060208 EP 2004-728383

2004
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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE,
 MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ,
 EE, HU, PL, SK, HR

BR 2004009701 A 20060502 BR 2004-9701

2004
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CN 1777605 A 20060524 CN 2004-80010682

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NL 1026028 A1 20041027 NL 2004-1026028

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NL 1026028 C2 20050705

NO 2005004407 A 20051215 NO 2005-4407

2005
 0922

US 2006205948 A1 20060914 US 2006-433171

2006
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US 2006205720 A1 20060914 US 2006-433848

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PRIORITY APPLN. INFO.:

US 2003-464831P

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US 2004-823107

A3

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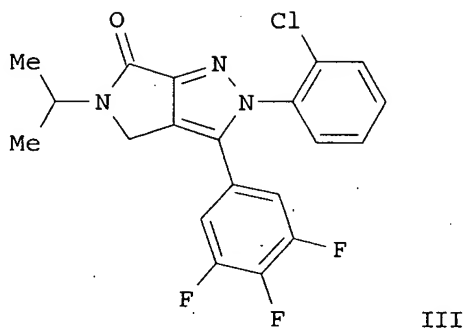
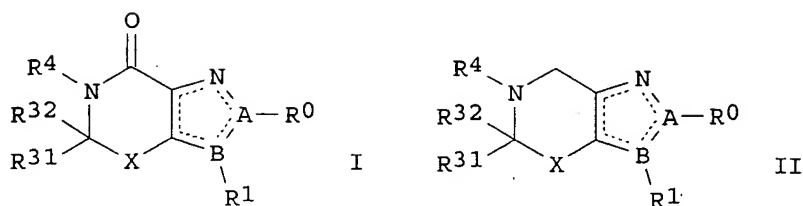
WO 2004-IB1482

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OTHER SOURCE(S):
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MARPAT 141:379924



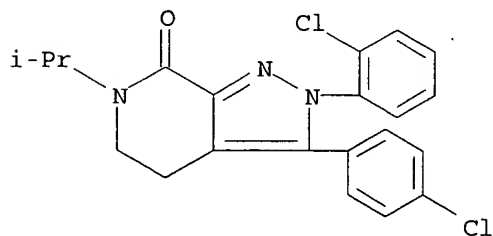
AB The title compds. I or II [A = N and B = C, or A = C and B = N; R₀ = (un)substituted (hetero)aryl; R₁ = (un)substituted (hetero)aryl, CH:CHR_{1a}, CH₂CH₂R_{1a} (wherein R_{1a} = H, alkyl, (un)saturated carbocyclyl, heterocyclyl, etc.); X = a bond, (un)substituted CH₂; R₃₁, R₃₂ = H, alkyl, haloalkyl; R₄ = alkyl, aryl, heteroaryl, etc.] that act as cannabinoid receptor ligands and therefore are useful in the treatment of diseases linked to the mediation of the cannabinoid receptors in animals, were prepared Thus, reacting 3-bromo-2-(2-chlorophenyl)-5-isopropyl-4,5-dihydro-2H-pyrrolo[3,4-c]pyrazol-6-one (preparation given) with 3,4,5-trifluorophenylboronic acid afforded III. All the exemplified compds. I (over 100) were tested in the CB-1 receptor binding assay and provided a range of binding activities from 0.6 nM - 2500 nM. The pharmaceutical composition comprising the compound I or II is claimed.

IT 784180-46-7P

(preparation of bicyclic pyrazolyl and imidazolyl compds. for treating disorders modulated by a cannabinoid receptor antagonist)

RN 784180-46-7 HCAPLUS

CN 7H-Pyrazolo[3,4-c]pyridin-7-one, 2-(2-chlorophenyl)-3-(4-chlorophenyl)-2,4,5,6-tetrahydro-6-(1-methylethyl)- (9CI) (CA INDEX NAME)



IC ICM C07D471-02
ICS A61K031-4745
INCL 514303000; X54-611.8
CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63
IT 784180-10-5P 784180-11-6P 784180-12-7P 784180-13-8P
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784181-35-7P 784181-36-8P 784181-37-9P 784181-38-0P
784181-39-1P 784181-40-4P

(preparation of bicyclic pyrazolyl and imidazolyl compds. for
treating disorders modulated by a cannabinoid receptor
antagonist)

L10 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:905619 HCAPLUS

DOCUMENT NUMBER: 141:379939

TITLE: Preparation of pyrazolo[4,3-d]pyrimidin-7-one
and pyrazolo[3,4-c]pyridin-7-one compounds as
cannabinoid receptor ligands

INVENTOR(S): Carpino, Philip A.; Griffith, David A.

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 38 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004214838	A1	20041028	US 2004-822988	2004 0412
CA 2523205	AA	20041104	CA 2004-2523205	

WO 2004094417

A1

20041104

WO 2004-IB1262

2004
04132004
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W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ,
CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG,
ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP,
KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,
MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL,
PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR,
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CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM,
GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1622902

A1

20060208

EP 2004-727068

2004
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BR 2004009689

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20060418

BR 2004-9689

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NL 1026029

A1

20041027

NL 2004-1026029

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PRIORITY APPLN. INFO.:

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WO 2004-IB1262

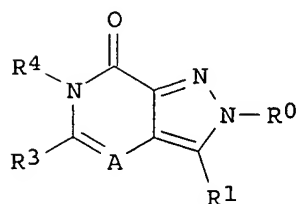
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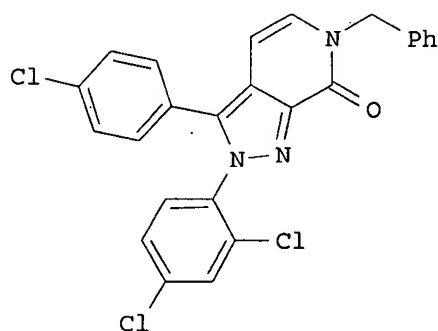
OTHER SOURCE(S):

MARPAT 141:379939

GI



I



II

AB The title compds. I [A = N, CR₂ (wherein R₂ = H, alkyl, haloalkyl, alkoxy); R₀, R₁ = (un)substituted (hetero)aryl; R₃ = H, (un)substituted alkyl, alkoxy; R₄ = alkyl, aryl, heteroaryl, etc.] that act as cannabinoid receptor ligands and therefore are useful

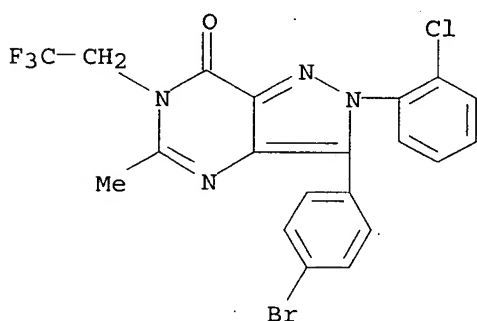
in the treatment of diseases linked to the mediation of the cannabinoid receptors in animals, were prepared E.g., a 2-step synthesis of II, starting from 5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-1H-pyrazole-3-carboxylic acid, was given. All the exemplified compds. were tested in the CB-1 receptor binding assay and provided a range of binding activities from 0.09 to 453 nM. The pharmaceutical composition comprising the compound I is claimed.

IT 784192-71-8P

(preparation of pyrazolo[4,3-d]pyrimidin-7-one and pyrazolo[3,4-c]pyridin-7-one compds. as cannabinoid receptor ligands)

RN 784192-71-8 HCAPLUS

CN 7H-Pyrazolo[4,3-d]pyrimidin-7-one, 3-(4-bromophenyl)-2-(2-chlorophenyl)-2,6-dihydro-5-methyl-6-(2,2,2-trifluoroethyl)- (9CI)
(CA INDEX NAME)



IC ICM A61K031-519

ICS C07D487-02; C07D471-02; A61K031-4745

INCL 514262100; 514303000; 544262000; 546119000

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63

IT 784192-16-1P 784192-71-8P 784192-74-1P
784192-89-8P

(preparation of pyrazolo[4,3-d]pyrimidin-7-one and pyrazolo[3,4-c]pyridin-7-one compds. as cannabinoid receptor ligands)

IT 784192-14-9P 784192-15-0P 784192-17-2P
784192-18-3P 784192-19-4P 784192-20-7P
784192-21-8P 784192-22-9P 784192-23-0P
784192-24-1P 784192-25-2P 784192-26-3P
784192-27-4P 784192-28-5P 784192-29-6P
784192-30-9P 784192-31-0P 784192-32-1P
784192-33-2P 784192-34-3P 784192-35-4P
784192-36-5P 784192-37-6P 784192-39-8P
784192-40-1P 784192-41-2P 784192-42-3P
784192-43-4P 784192-44-5P 784192-45-6P
784192-46-7P 784192-47-8P 784192-48-9P
784192-49-0P 784192-50-3P 784192-51-4P
784192-52-5P 784192-53-6P 784192-54-7P
784192-55-8P 784192-56-9P 784192-57-0P
784192-58-1P 784192-59-2P 784192-60-5P
784192-61-6P 784192-62-7P 784192-63-8P
784192-64-9P 784192-65-0P 784192-66-1P
784192-67-2P 784192-68-3P 784192-69-4P
784192-70-7P 784192-72-9P 784192-73-0P
784192-75-2P 784192-76-3P 784192-77-4P.

784192-78-5P 784192-79-6P 784192-80-9P
784192-81-0P 784192-82-1P 784192-83-2P
784192-84-3P 784192-85-4P 784192-86-5P
784192-87-6P 784192-88-7P 784192-90-1P
784192-91-2P 784192-92-3P 784192-93-4P
784192-94-5P 784192-95-6P 784192-96-7P
784192-97-8P 784192-98-9P 784192-99-0P
784193-00-6P 784193-01-7P 784193-02-8P 784193-03-9P
784193-04-0P 784193-21-1P 784193-22-2P
784193-23-3P 784193-24-4P 784193-25-5P
784193-26-6P

(preparation of pyrazolo[4,3-d]pyrimidin-7-one and
pyrazolo[3,4-c]pyridin-7-one compds. as cannabinoid receptor
ligands)

IT 33420-52-9P 68520-81-0P 569679-56-7P 676343-48-9P
784193-05-1P 784193-06-2P 784193-07-3P 784193-08-4P
784193-09-5P 784193-10-8P 784193-11-9P 784193-12-0P
784193-13-1P 784193-14-2P 784193-15-3P 784193-16-4P
784193-17-5P 784193-18-6P

(preparation of pyrazolo[4,3-d]pyrimidin-7-one and
pyrazolo[3,4-c]pyridin-7-one compds. as cannabinoid receptor
ligands)

L10 ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:147157 HCAPLUS

DOCUMENT NUMBER: 140:321638

TITLE: Imino-C-nucleoside Synthesis: Heteroaryl
Lithium Carbanion Additions to a Carbohydrate
Cyclic Imine and Nitrone

AUTHOR(S): Evans, Gary B.; Furneaux, Richard H.; Hausler,
Herwig; Larsen, Janus S.; Tyler, Peter C.

CORPORATE SOURCE: Carbohydrate Chemistry, Industrial Research
Limited, Lower Hutt, N. Z.

SOURCE: Journal of Organic Chemistry (2004), 69(6),
2217-2220

CODEN: JOCEAH; ISSN: 0022-3263

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 140:321638

AB Promotion by Lewis acid of the addition of some aryllithiums to a
carbohydrate-based imine, which has allowed a more facile
synthesis of some imino-C-nucleoside analogs, is described. Use
of the corresponding nitrone does not assist in some cases, but
lithiated acetonitrile adds to it efficiently to give a product
from which further C-nucleoside analogs can be derived.

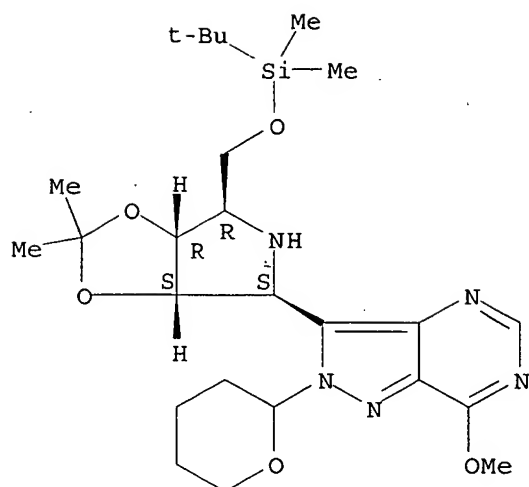
IT 607389-23-1P

(synthesis of imino-C-nucleosides via heteroaryl lithium
carbanion addns. to a carbohydrate cyclic imine and nitrone)

RN 607389-23-1 HCAPLUS

CN 2H-Pyrazolo[4,3-d]pyrimidine, 3-[(3aS,4S,6R,6aR)-6-[[[(1,1-
dimethylethyl)dimethylsilyl]oxy]methyl]tetrahydro-2,2-dimethyl-4H-
1,3-dioxolo[4,5-c]pyrrol-4-yl]-7-methoxy-2-(tetrahydro-2H-pyran-2-
yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



CC 33-9 (Carbohydrates)

IT 222631-09-6P 364046-18-4P 588718-80-3P 607389-23-1P
678981-72-1P(synthesis of imino-C-nucleosides via heteroaryl lithium
carbanion addns. to a carbohydrate cyclic imine and nitrone)REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE
FOR THIS RECORD. ALL CITATIONS AVAILABLE
IN THE RE FORMAT

L10 ANSWER 5 OF 5 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:777802 HCAPLUS

DOCUMENT NUMBER: 139:277119

TITLE: Preparation of C-nucleosides as inhibitors of
nucleoside phosphorylases and nucleosidases

INVENTOR(S): Furneaux, Richard Hubert; Schramm, Vern L.;

Tyler, Peter Charles; Evans, Gary Brian

PATENT ASSIGNEE(S): Industrial Research Limited, N. Z.; Albert

Einstein College of Medicine of Yeshiva

University

SOURCE: PCT Int. Appl., 63 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003080620	A1	20031002	WO 2003-NZ50	

2003
0325

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA,
CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI,
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG,
KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK,
MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC,
SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US,
UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM,

AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ,
 DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL,
 PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN,
 GQ, GW, ML, MR, NE, SN, TD, TG

US 2004110772	A1	20040610	US 2003-395636	2003 0324
US 7098334	B2	20060829		
CA 2480470	AA	20031002	CA 2003-2480470	2003 0325
AU 2003215969	A1	20031008	AU 2003-215969	2003 0325
EP 1490373	A1	20041229	EP 2003-745042	2003 0325
				2003 0325
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005527544	T2	20050915	JP 2003-578374	2003 0325

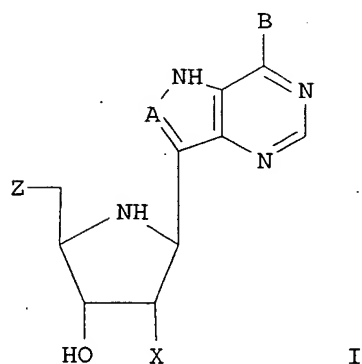
PRIORITY APPLN. INFO.:

NZ 2002-517970	A	2002 0325
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WO 2003-NZ50	W	2003 0325
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OTHER SOURCE(S):
 GI

MARPAT 139:277119



AB The present invention relates to compds. of the general formula I, where R is selected from halogen, optionally substituted alkyl, aralkyl and aryl, OH, NH₂, NHR₁, NR₁R₂ and SR₃, where R₁-R₃ are each optionally substituted alkyl, aralkyl or aryl groups; B is selected from NH₂ and NHR₄, where R₄ is an optionally substituted alkyl, aralkyl or aryl group; X is selected from H, OH and halogen; and Z is selected from H, Q, SQ and OQ, where Q is an optionally substituted alkyl, aralkyl or aryl group; or a tautomer thereof; or a pharmaceutically acceptable salt thereof; or an

ester thereof; or a prodrug thereof; with the proviso that the stereochem. of the aza-sugar moiety is D-ribo- or 2'-deoxy-D-erythro-, which are inhibitors of 5'-methylthioadenosine phosphorylases and 5'-methylthioadenosine nucleosidases (MTAP and MTAN), the invention also relates to the use of these compds. in treatment of diseases and infections including cancer, bacterial infections and parasitic infections, and to pharmaceutical compns. containing the compds. Thus, I (X = OH, Z = SPh, A = CH, B = NH₂) was prepared and tested in vitro as MTAP and MTAN inhibitor (K_i = 46 ± 3 pM and 890.0 ± 120 pM resp.).

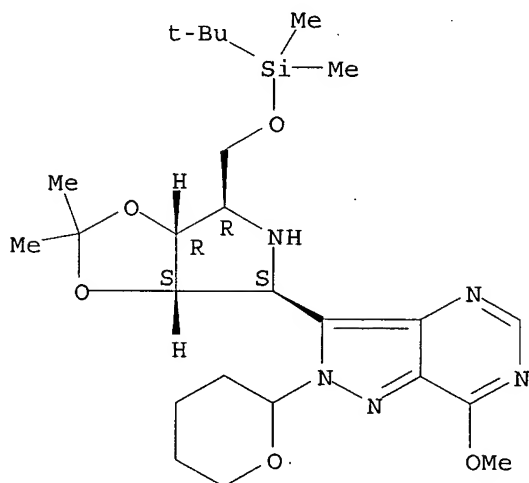
IT 607389-23-1P

(preparation of C-nucleosides as inhibitors of nucleoside phosphorylases and nucleosidases)

RN 607389-23-1 HCAPLUS

CN 2H-Pyrazolo[4,3-d]pyrimidine, 3-[(3aS,4S,6R,6aR)-6-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]tetrahydro-2,2-dimethyl-4H-1,3-dioxolo[4,5-c]pyrrol-4-yl]-7-methoxy-2-(tetrahydro-2H-pyran-2-yl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



IC ICM C07D487-04

ICS A61K031-519

CC 33-9 (Carbohydrates)

Section cross-reference(s): 1, 10, 63

IT 222631-09-6P 222631-50-7P 607389-13-9P 607389-14-0P
 607389-15-1P 607389-17-3P 607389-18-4P 607389-19-5P
 607389-20-8P 607389-22-0P 607389-23-1P
 607389-24-2P 607389-25-3P 607389-26-4P
 607389-28-6P 607389-29-7P 607389-30-0P 607389-42-4P
 607389-43-5P 607389-44-6P

(preparation of C-nucleosides as inhibitors of nucleoside phosphorylases and nucleosidases)

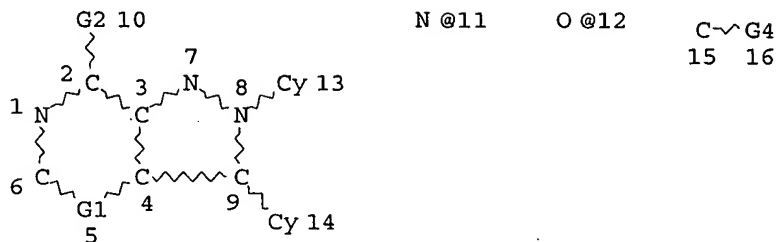
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE
 FOR THIS RECORD. ALL CITATIONS AVAILABLE
 IN THE RE FORMAT

=> fil marpat

FILE 'MARPAT' ENTERED AT 12:43:02 ON 19 SEP 2006

=> d que l16

L5 STR



VAR G1=C/N

VAR G2=11/12

VAR G4=AK/O

NODE ATTRIBUTES:

NSPEC IS RC AT 11

NSPEC IS RC AT 12

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 16

STEREO ATTRIBUTES: NONE

L7 222 SEA FILE=REGISTRY SSS FUL L5

L9 6 SEA FILE=HCAPLUS ABB=ON L7

L15 8 SEA FILE=MARPAT SSS FUL L5

L16 5 SEA FILE=MARPAT ABB=ON L15 NOT L9

=> d l16 1-5 ibib abs qhit

L16 ANSWER 1 OF 5 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 143:387058 MARPAT

TITLE: Preparation of substituted
pyrazolo[4,3-d]pyrimidines as inhibitors of
phosphodiesterase V

INVENTOR(S): Bell, Andrew Simon; Brown, David Graham; Fox,
David Nathan Abraham; Lu, Hwang-Fun; Marsh,
Ian Roger; Morrell, Andrew Ian; Owen, Dafydd
Rhys; Palmer, Michael John; Rogers, Thomas
Edward; Windslow, Carol Ann

PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.

SOURCE: PCT Int. Appl., 182 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005097799	A1	20051020	WO 2005-IB891	20050330
WO 2005097799	C1	20060216		

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	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,
	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,
	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,
	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SY,	TJ,	TM,	TN,
	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW	
RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,
	ZW,	AM,	AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,
	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	IS,	IT,
	LT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	BJ,	CF,
	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG	

PRIORITY APPLN. INFO.:

GB 2004-7927 20040407

20040407

GB 2004-7946

20040407

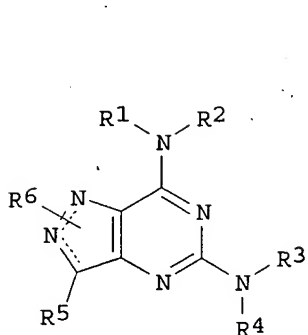
US 2004-572024P

20040518

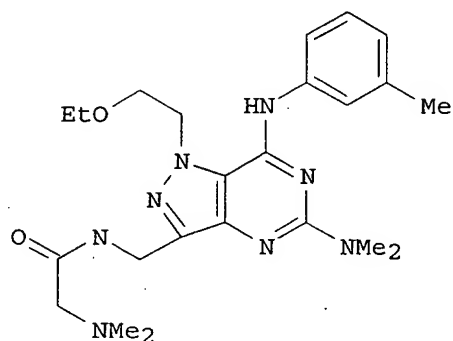
US 2004-572049P

20040518

GI



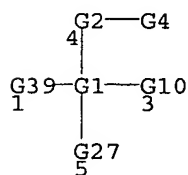
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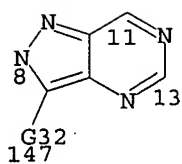
II

AB Title compds. I [R1 = cycloalkyl, cycloalkenyl, 5-6 membered heteroarom. ring, etc.; R2 = H, alkyl; R3-4 = alk(en/yn)yl, cycloalkyl, etc.; R5 = amino, alkylenylamino, etc.; R6 = alkyl, haloalkyl, alkenyl, alkynyl, etc.] are prepared For instance II is prepared in 12 steps from di-Me 4-nitro-1H-pyrazole-3,5-dicarboxylate, 2-ethoxyethyl bromide, 2-amino-4-methylpyridine, dimethylaminoacetic acid and dimethylamine. II has an IC50 = 1.12 for phosphodiesterase 5 (PDE5). I are useful for the treatment of diabetes and hypertension.

MSTR 1



G1 = 8-1 147-5 11-4 13-3



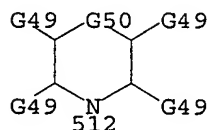
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G10 = 143

G12-G11
143

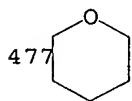
G12 = 145

N-G13
145

G13 = Et
G27 = 512



G32 = bond
G39 = 477



G50 = 517

N-G49
517

Patent location:
Note:

claim 1
or tautomers, pharmaceutically acceptable
salts, solvates or polymorphs

REFERENCE COUNT:

2 THERE ARE 2 CITED REFERENCES AVAILABLE
FOR THIS RECORD. ALL CITATIONS AVAILABLE
IN THE RE FORMAT

L16 ANSWER 2 OF 5 MARPAT COPYRIGHT 2006 ACS on STN

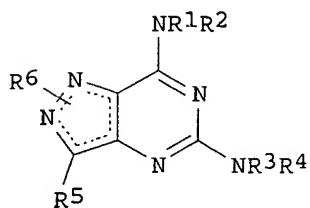
ACCESSION NUMBER: 143:26627 MARPAT

TITLE: Preparation of 5,7-diaminopyrazolo[4,3-
d]pyrimidines with phosphodiesterase-5 (PDE5)
inhibiting activity

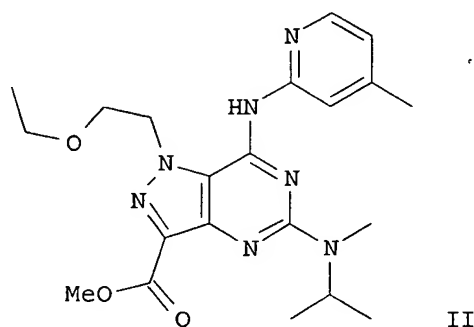
INVENTOR(S): Bell, Andrew Simon; Brown, David Graham; Dack, Kevin Neil; Fox, David Nathan Abraham; Marsh, Ian Roger; Morrell, Andrew Ian; Palmer, Michael John; Winslow, Carol Ann
 PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.
 SOURCE: PCT Int. Appl., 282 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005049616	A1	20050602	WO 2004-IB3747	20041112
WO 2005049616	C1	20060601		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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AU 2004290643	A1	20050602	AU 2004-290643	20041112
CA 2546987	AA	20050602	CA 2004-2546987	20041112
EP 1689751	A1	20060816	EP 2004-798876	20041112
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR, IS, YU				
NL 1027568	A1	20050526	NL 2004-1027568	20041123
NL 1027568	C2	20051130		
US 2005245544	A1	20051103	US 2004-997191	20041124
PRIORITY APPLN. INFO.:				
			GB 2003-27319	20031124
			US 2004-535797P	20040112
			WO 2004-IB3747	20041112

GI



I



II

AB Title compds. [I; R1 = (substituted) cyclic group; R2 = H, alkyl; R3, R4 = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl; R5 = YCO2R15, YR16; R6 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, etc.; Y = bond, CH2OCH2, alkylene, cycloalkylene; R15 = H, (substituted) alkyl; R16 = tetrazolyl, trifluoromethyltriazolyl, methylsulfonyltriazolyl, etc.; dotted lines = double bonds to form an aromatic ring], were prepared. Thus, title compound (II) (preparation given) inhibited PDE-5 with IC50 = 0.075 nM.

MSTR 1

G39—G1
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G1 = 26

G2—G48—G4
26 4

G2 = 2

G27—G10
2 3
G33
5

G10 = 143

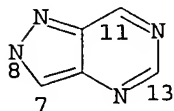
G12—G11
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G12 = 145

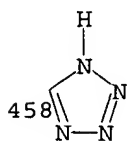
N—G13
145

G13 = Et

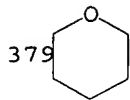
G27 = 8-1 7-5 11-4 13-3



G33 = 458



G39 = 379



G48 = NH

Patent location:

claim 1

Note:

or tautomers, pharmaceutically acceptable salts or solvates

Note:

also incorporates claims 69' and 71

REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 3 OF 5 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

134:311220 MARPAT

TITLE:

Preparation of pyrazolo[4,3-d]pyrimidin-7-ones as phosphodiesterase inhibitors

INVENTOR(S):

Allerton, Charlotte Moira Norfor; Barber, Christopher Gordon; Maw, Graham Nigel; Rawson, David James

PATENT ASSIGNEE(S):

Pfizer Limited, UK; Pfizer, Inc.

SOURCE:

PCT Int. Appl., 204 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

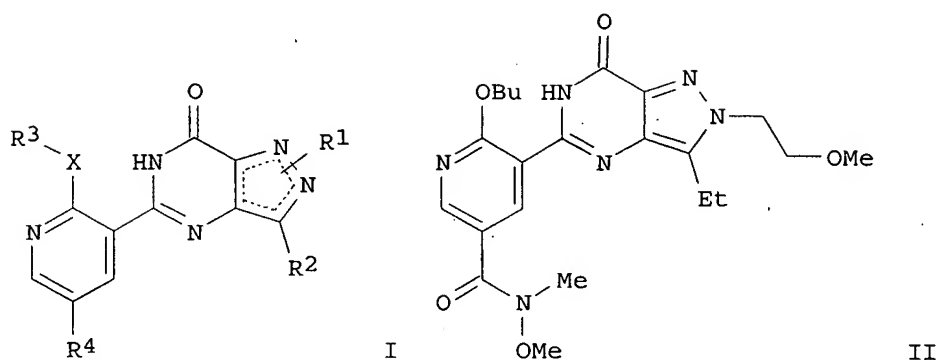
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001027112	A1	20010419	WO 2000-IB1430	20001004
W:			AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW	
RW:			GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG	
CA 2387353	AA	20010419	CA 2000-2387353	20001004
BR 2000014695	A	20020618	BR 2000-14695	20001004
EP 1222190	A1	20020717	EP 2000-964557	20001004
R:			AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL	
TR 200200954	T2	20020923	TR 2002-954	20001004
JP 2003511452	T2	20030325	JP 2001-530330	20001004
EE 200200192	A	20030616	EE 2002-192	20001004
NZ 517324	A	20030926	NZ 2000-517324	20001004
US 6756373	B1	20040629	US 2000-684228	20001006
US 2002038024	A1	20020328	US 2001-916099	20010726
US 6809200	B2	20041026		
ZA 2001006161	A	20030127	ZA 2001-6161	20010726

BG 106568	A	20021229	BG 2002-106568	20020402
ZA 2002002723	A	20030408	ZA 2002-2723	20020408
NO 2002001695	A	20020607	NO 2002-1695	20020410
US 2005143367	A1	20050630	US 2004-934031	20040903

PRIORITY APPLN. INFO.:

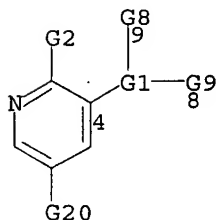
GB 1999-24041	19991011
GB 2000-18660	20000728
US 2000-231411P	20000908
WO 2000-IB1430	20001004
US 2001-276532P	20010316
GB 2001-7526	20010326
GB 2001-10251	20010426
US 2001-292378P	20010521
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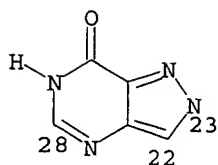


AB The title compds. [I; X = O, NR5; R1 = H, alkyl, Het, etc.; R2 = H, halo, CN, etc.; R3 = H, alkyl, alkylHet, etc.; R4 = H, halo, CN, etc.; R5 = H, alkyl], useful in the curative and prophylactic treatment of a medical condition for which inhibition of a cyclic guanosine 3',5'-monophosphate phosphodiesterase (e.g. cGMP PDE5) is desired such as male erectile dysfunction, were prepared and formulated. E.g., a multi-step synthesis of the pyrazolo[4,3-d]pyrimidin-7-one II which showed IC50 of 8.5 nM against cGMP PDE5, was given. The compds. I were found to have in vitro activities as inhibitors of cGMP PDE5 with IC50 of < about 100 nM.

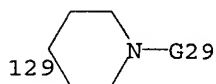
MSTR 1



G1 = 28-4 23-9 22-8



G8 = 129



G9 = Ph

G20 = COMe

Patent location:

Note:

Note:

Note:

Note:

claim 1

or pharmaceutically or veterinarily
acceptable salts and/or solvates

further derivatization also claimed

substitution is restricted

also incorporates claim 22

REFERENCE COUNT:

12 THERE ARE 12 CITED REFERENCES AVAILABLE
FOR THIS RECORD. ALL CITATIONS AVAILABLE
IN THE RE FORMAT

L16 ANSWER 4 OF 5 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 132:308353 MARPAT

TITLE: Preparation of pyrazolopyrimidinones as cGMP
phosphodiesterase inhibitors

INVENTOR(S): Bunnage, Mark Edward; Maw, Graham Nigel;
Rawson, David James; Wood, Anthony; Mathias,
John Paul; Street, Stephen Derek Albert

PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.

SOURCE: PCT Int. Appl., 197 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000024745	A1	20000504	WO 1999-IB1706	19991019
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2347950	AA	20000504	CA 1999-2347950	19991019
CA 2347950	C	20050503		
AU 9959956	A1	20000515	AU 1999-59956	19991019

BR 9915532	A	20010814	BR 1999-15532	19991019
EP 1123296	A1	20010816	EP 1999-970992	19991019
EP 1123296	B1	20030917		

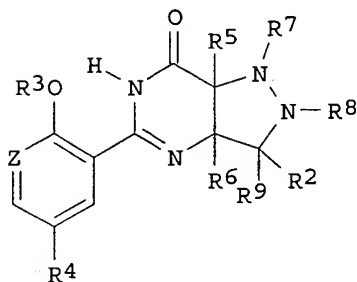
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE,
MC, PT, IE, SI, LT, LV, FI, RO

JP 2002528456	T2	20020903	JP 2000-578315	19991019
AT 250063	E	20031015	AT 1999-970992	19991019
PT 1123296	T	20031231	PT 1999-970992	19991019
ES 2205945	T3	20040501	ES 1999-970992	19991019
US 6333330	B1	20011225	US 1999-426554	19991022

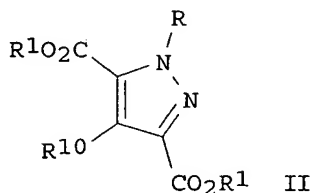
PRIORITY APPLN. INFO.:

GB 1998-23101	19981023
GB 1998-23102	19981023
WO 1999-IB1706	19991019

GI



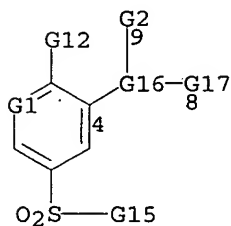
I



II

AB Title compds. [I; R2 = CONH2, CO2H, alkoxycarbonyl, (acyl)amino, etc.; R3 = H or (un)substituted alkyl; R4 = SO2NR14R15; R5R6 and R8R9 = bond and R7 = H, alkyl, heterocyclyl, aryl, etc.; R5R7 and R6R9 = bond and R8 = H, alkyl, heterocyclyl, aryl, etc.; NR14R15 = heterocyclyl; Z = CH or N] were prepared for treatment of sexual dysfunction. Thus, pyrazole-3,5-dicarboxylic acid was nitrated and the product esterified to give pyrazolecarboxylate II (R = H, R1 = Me, R10 = NO2) which was N-alkylated by 2-chloromethylpyridine and the reduced product amidated by 2-(Pro)C6H4COCl to give II [R = 2-pyridylmethyl, R1 = Me, R10 = NHCOC6H4(OPr)-2]. The latter was heated with NH3 at 100° to give I (R2 = CONH2, R3 = Pr, R5R6, R8R9 = bond, R7 = 2-pyridylmethyl) (III; R4 = H) which was converted to III (R4 = 4-methyl-1-pyrazinylsulfonyl). Data for biol. activity of I were given.

MSTR 1

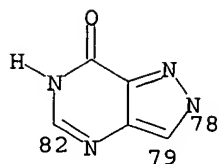


G2 = Ph
G13 = carbon chain <containing 1-6 C,

0 or more double bonds, 0 or more triple bonds>
(opt. substd. by 1 or more G14)

G14 = CHO

G16 = 82-4 79-8 78-9



G17 = 116 / Ph

C(O)G20
116

G20 = 118

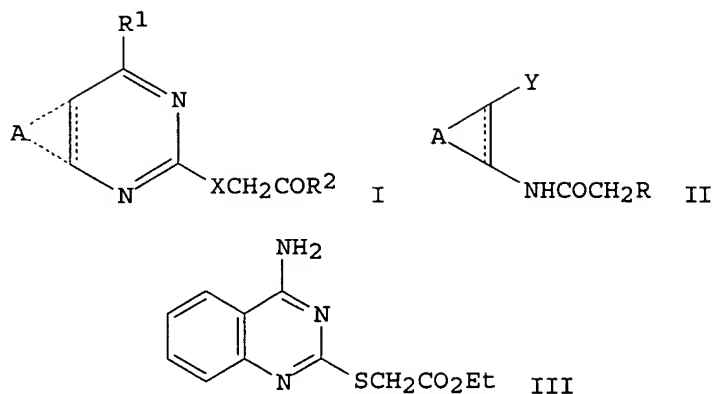
HN—G13
118

Derivative: or pharmaceutically or veterinarily acceptable derivatives
Patent location: claim 1
Note: substitution is restricted
Note: cycloaliphatic moieties and aliphatic moieties with oxygen atom interruption(s) also claimed
Note: also incorporates formulas VIIIA and VIIIB, claim 15

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

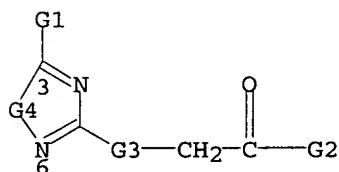
L16 ANSWER 5 OF 5 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 118:147575 MARPAT
TITLE: Preparation of (arenopyrimidinylthio)acetates and analogs
INVENTOR(S): Gewald, Karl; Schaefer, Harry; Jeschke, Torsten; Eckert, Katrin; Faust, Gottfried; Laban, Gunter
PATENT ASSIGNEE(S): Arzneimittelwerk Dresden GmbH, Germany
SOURCE: Ger. Offen., 7 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4119767	A1	19921217	DE 1991-4119767	19910615
PRIORITY APPLN: INFO.:			DE 1991-4119767	19910615
OTHER SOURCE(S):			CASREACT 118:147575	
GI				

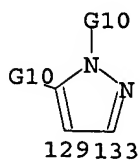


AB Title compds. [I; A = atoms to complete a (substituted) aromatic or heterocyclic ring; R¹ = NH₂, OH; R² = OH, alkoxy; X = Se, S; dashed lined = optional bond] were prepared by cyclocondensation of acetamidocyclic compds. II (R = halo, Y = cyano, alkoxy carbonyl) with SCN⁻ or SeCN⁻ in the presence of R²H. Thus, 2-(NC)C₆H₄NHCOCH₂Cl was refluxed 3 h with KSCN in EtOH to give 69% title compound III.

MSTR 1B



G₁ = NH₂
G₄ = 129-6 133-3



G₁₀ = Ph (opt. substd. by 1 or more G₉)
Patent location: claim 1